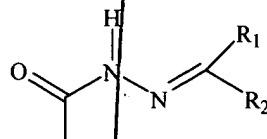


1. An antimycobacterial compound which comprises the formula:



5 wherein R₁ is H; and

R₂ is C₃ to C₁₄ alkyl, C₃ to C₁₀ substituted alkyl, C₂ to C₁₀ alkenyl, C₂ to C₉ substituted alkenyl, C₂ to C₉ substituted dialkenyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, phenyl, substituted phenyl, C₇ to C₁₆ phenylalkyl, C₇ to C₁₆ substituted phenylalkyl, benzyl, substituted benzyl, naphthyl, substituted naphthyl, heterocycle, substituted heterocycle, halo, hydroxy, amino, or carboxy;

10 or a pharmaceutically acceptable salt thereof; or a pharmaceutical isomer thereof; or a combination of the same.

2. The antimycobacterial compound according to claim 1 wherein R₁ is H; and

5 R₂ is CH=CHCH₃ (trans), CH=CHCH₂CH₃ (trans), CH=CHCH₂CH₂CH₃ (trans),

CH=CHCH₂CH₂CH₂CH₃ (trans), C(CH₃)=CHCH₃ (trans), CH=C(CH₃)CH₂CH₂CH=C(CH₃)₂

(trans), CH=NNHCO-4-C₅H₄N, CH₂CH(CH₃)CH₂CH₂CH=C(CH₃)₂, 4-C₆H₄-CH=NNHCO-4-

C₅H₄N, 4-C₆H₄-O-CH₂CH₂CH₂CH₃, (CH₂)₁₁CH₃, 4-C₆H₄NO₂, C₆H₅, 2-C₆H₄OH, 4-OH-3-

OCH₃C₆H₃, 4-C₆H₄OCH₃, 3-C₆H₄OCH₃, (CH₂)₈CH₃, (CH₂)₂CH₃, 2-C₆H₄OCH₃,

10 C(CH₃)=CHC₆H₅ (trans), 4-C₆H₄F, 3,5-di(CH₃)-4-O-C₇H₇, 2-F-4-OCH₃C₆H₃, 2-ClC₆H₄, 4-

BrC₆H₄, 3-C₆H₄NO₂, 4-C₆H₄O(CH₂)₅CH₃, 2-Cl-5-NO₂C₆H₃, 4-Cl-3-NO₂C₆H₃, 2-C₆H₄NO₂, 2-6-

di(Cl)C₆H₃, 2,3-di(Cl)C₆H₃, C₆H₅, 3,4-di(F)C₆H₃, 2,6-di(F)C₆H₃, 3,4-di(Cl)C₆H₃, 4-C₆H₄Cl, or CH=C(C₆H₅)₂.

3. The antimycobacterial compound according to claim 1 wherein R₁ is CH₃; and

R₂ = CH₂COCH₃, CH₃, CH₂CO₂CH₂CH₃, or C₆H₅.

4. The antimycobacterial compound according to claim 1 wherein R₁ is

5 CH₂CO₂CH₂CH₃; and

R₂ is CH₂CH₂CH₃.

5. The antimycobacterial compound according to claim 1 wherein R₁ is CO₂CH₂CH₃;

and

R₂ is H₂CH₂C₆H₅.

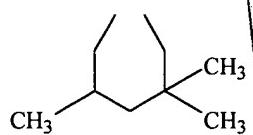
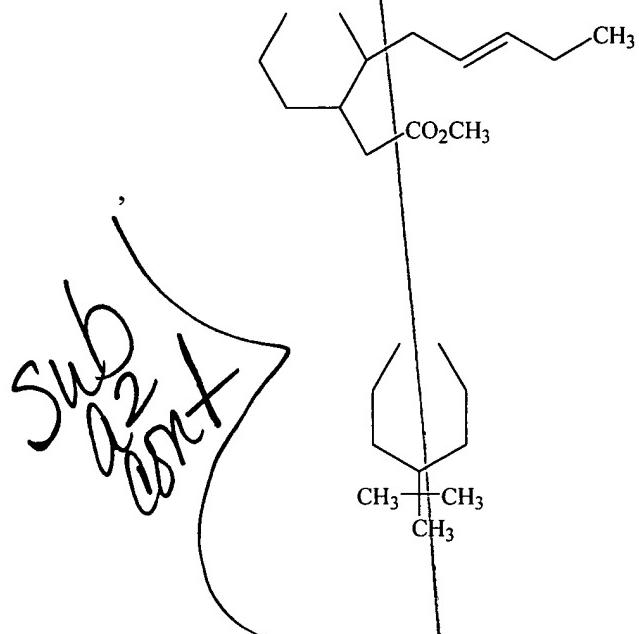
6. The antimycobacterial compound according to claim 1 wherein R₁ is 2-C₅H₄N; and

R₂ is 2-C₅H₄N · 2H₂O.

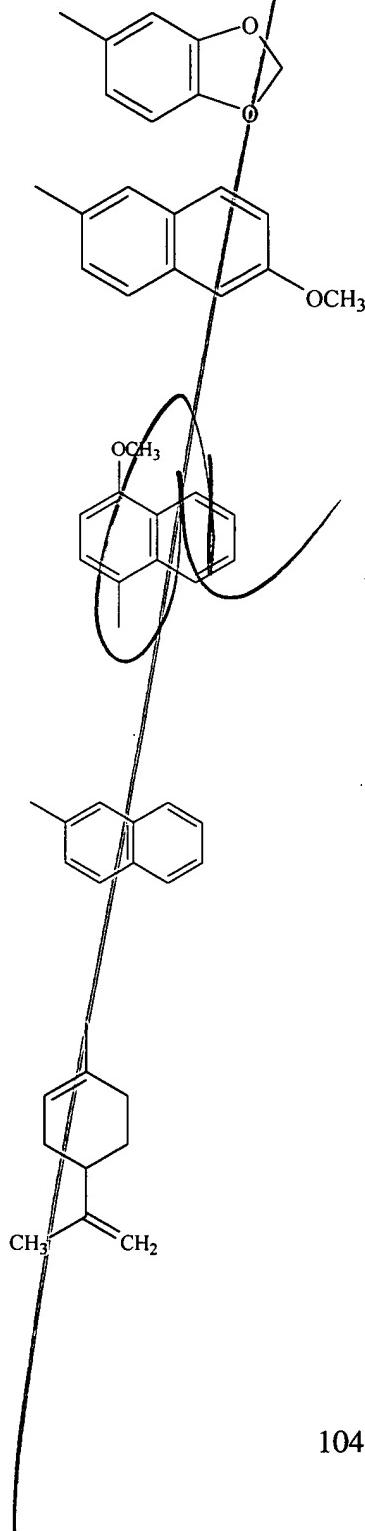
7. The antimycobacterial compound according to claim 1 where R₁,R₂ is (CH₂)₄, (CH₂)₆

4-C₆H₈NNHCO-4-C₅H₄N.

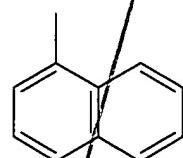
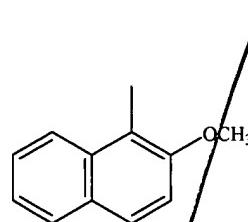
8. The antimycobacterial compound according to claim 1 where R₁,R₂ is



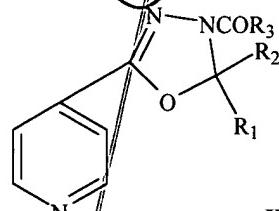
9. The antimycobacterial compound according to claim 1 wherein R₁ is H; and R₂ is



or



10. An antimycobacterial compound which comprises the formula:



5

wherein R₁ is H; R₂ is C₃ to C₁₄ alkyl, C₃ to C₁₀ substituted alkyl, C₂ to C₁₀ alkenyl, C₂ to C₉ substituted alkenyl, C₂ to C₉ substituted dialkenyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, phenyl, substituted phenyl, C₇ to C₁₆ phenylalkyl, C₇ to C₁₆ substituted phenylalkyl, benzyl, substituted benzyl, naphthyl, substituted naphthyl, heterocycle, substituted heterocycle; and

10

R₃ is C₁ or C₂ alkyl; or a pharmaceutically acceptable salt thereof; or a pharmaceutically acceptable isomer thereof; or a combination of the same.

11. The antimycobacterial compound according to claim 10 wherein R₁ is H; R₂ is 2,6-di(Cl)C₆H₃, 3-NO₂-4-Cl-C₆H₃, 3,4-di(F)C₆H₃, 2-C₆H₄NO₂, 3,4-di(Cl)C₆H₃ and 2,6-di(F)C₆H₃; and

5 R₃ is CH₃.

12. The antimycobacterial compounds according to claim 10 wherein R₁ is CH₃; R₂ is CH₃; and

R₃ is CH₂CH₃ or CH₃.

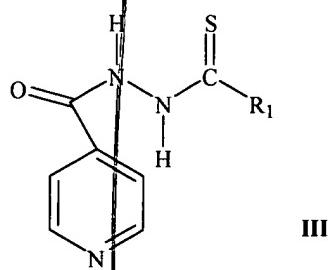
13. The antimycobacterial compounds according to claim 10 wherein R₁, R₂ is (CH₂)₅; and

R₃ is CH₃.

14. The antimycobacterial compound according to claim 10 wherein R₁ is CH₃; R₂ is C₆H₅; and

R₃ is CH₃.

15. An antimycobacterial compound which comprises the formula:

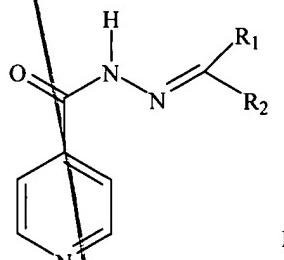


- DOCUMENT 25/15960
- 5 wherein R₁ is C₂ to C₆ alkyl, C₂ to C₆ substituted alkyl, C₂ to C₁₀ alkenyl, C₂ to C₁₀ substituted alkenyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, phenyl, substituted phenyl, C₇ to C₁₆ phenylalkyl, C₇ to C₁₆ substituted phenylalkyl, benzyl, substituted benzyl, naphthyl, substituted naphthyl, heterocycle, substituted heterocycle;
- 10 or a pharmaceutically acceptable salt thereof; or a pharmaceutically acceptable isomer thereof; or a combination of the same.

16. The antimycobacterial compound according to claim 15 wherein R₁ is NHC₆H₅,

NH-4-C₆H₄CH₃, NH-4-C₆H₄Br or NH-4-C₆H₄Cl.

17. A method for producing an antimycobacterial compound comprising the formula of:



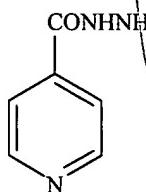
- 5 wherein R₁ is H or CH₃; and

wherein R₂ is C₁ to C₁₄ alkyl, C₂ to C₁₀ substituted alkyl, C₂ to C₁₀ alkenyl, C₂ to C₉ substituted alkenyl, C₂ to C₉ substituted dialkenyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, phenyl, substituted phenyl, C₇ to C₁₆ phenylalkyl, C₇ to C₁₆ substituted phenylalkyl, benzyl, substituted benzyl, naphthyl, substituted naphthyl, heterocycle, substituted heterocycle, halo, hydroxy, amino, or carboxy; or

wherein R₁R₂ = C₄ to C₈ cycloalkyl or C₄ to C₁₀ substituted cycloalkyl;

which comprises:

15



refluxing

(1)

with absolute ethanol to produce a solution;

adding a carbonyl compound comprising the formula of:

20

wherein R₃ = H or CH₃; and

wherein R₄ = C₁ to C₁₄ alkyl, C₂ to C₁₀ substituted alkyl, C₂ to C₁₀ alkenyl, C₂ to C₉ substituted alkenyl, C₂ to C₉ substituted dialkenyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, phenyl, substituted phenyl, C₇ to C₁₆ phenylalkyl, C₇ to C₁₆ substituted phenylalkyl, benzyl, substituted benzyl, naphthyl, substituted naphthyl, heterocycle, substituted heterocycle, halo, hydroxy, amino, or carboxy; or

wherein R₃R₄ = C₄ to C₈ cycloalkyl or C₄ to C₁₀ substituted cycloalkyl;

to the solution to produce a reaction mixture;

distilling the reaction mixture;

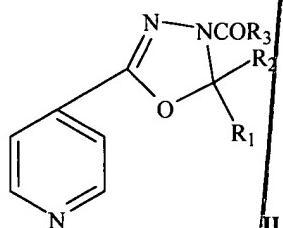
adding diethyl ether to the reaction mixture;

35

filtering the reaction mixture; and

drying the filtrate to produce I.

18. A method for producing an antimycobacterial compound comprising the formula of:



wherein R₁ = wherein R₁ is H or CH₃

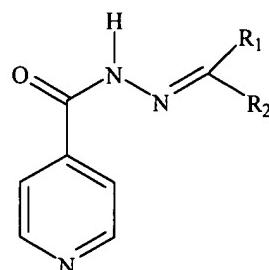
wherein R₂ = C₁ to C₁₄ alkyl, C₂ to C₁₀ substituted alkyl, C₂ to C₁₀ alkenyl, C₂ to C₉ substituted alkenyl, C₂ to C₉ substituted dialkenyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, phenyl, substituted phenyl, C₇ to C₁₆ phenylalkyl, C₇ to C₁₆ substituted phenylalkyl, benzyl, substituted benzyl, naphthyl, substituted naphthyl, heterocycle, substituted heterocycle, halo, hydroxy, amino, or carboxy; or

wherein R₁R₂ = C₄ to C₈ cycloalkyl or C₄ to C₁₀ substituted cycloalkyl;

wherein R₃ = C₁ or C₂ alkyl

which comprises:

refluxing

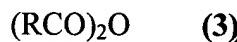


wherein *R₁* is H or CH₃; and

wherein *R₂* is C₁ to C₁₄ alkyl, C₂ to C₁₀ substituted alkyl, C₂ to C₁₀ alkenyl, C₂ to C₉ substituted alkenyl, C₂ to C₉ substituted dialkenyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, phenyl, substituted phenyl, C₇ to C₁₆ phenylalkyl, C₇ to C₁₆ substituted phenylalkyl, benzyl, substituted benzyl, naphthyl, substituted naphthyl, heterocycle, substituted heterocycle, halo, hydroxy, amino, or carboxy; or

wherein *R₁R₂* = C₄ to C₈ cycloalkyl or C₄ to C₁₀ substituted cycloalkyl;

with a carboxylic acid anhydride comprising the formula of:



wherein R = C₁ or C₂ alkyl

to produce a reaction mixture;

drying the reaction mixture;

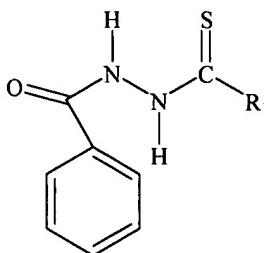
adding ether to the reaction mixture to form a solution;

separating the ether from the solution to yield an aqueous layer;

extracting the aqueous layer with ether;

drying the ether extracts to produce II.

19. A method for producing a compound comprising the formula of:

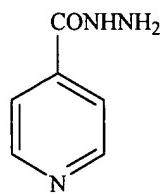


III

wherein $R_1 = C_1$ to C_6 alkyl, C_2 to C_6 substituted alkyl, C_2 to C_{10} alkenyl, C_2 to C_{10} substituted alkenyl, C_3 to C_7 cycloalkyl, C_3 to C_7 substituted cycloalkyl, phenyl, substituted phenyl, C_7 to C_{16} phenylalkyl, C_7 to C_{16} substituted phenylalkyl, benzyl, substituted benzyl, naphthyl, substituted naphthyl, heterocycle, substituted heterocycle;

which comprises:

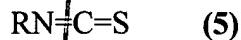
refluxing



(1)

with ethanol to produce a solution;

adding an isothiocyanate comprised of the formula of:



wherein $R = C_1$ to C_6 alkyl, C_2 to C_6 substituted alkyl, C_2 to C_{10} alkenyl, C_2 to C_{10} substituted alkenyl, C_3 to C_7 cycloalkyl, C_3 to C_7 substituted cycloalkyl, phenyl, substituted phenyl, C_7 to C_{16}

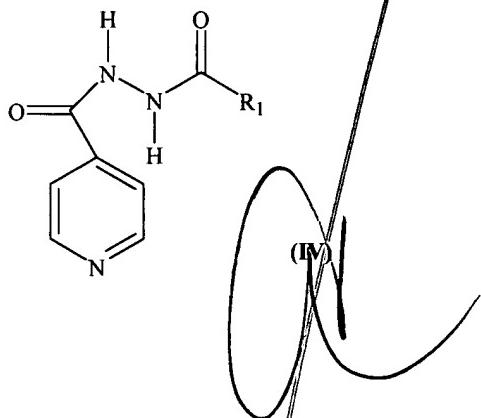
phenylalkyl, C₇ to C₁₆ substituted phenylalkyl, benzyl, substituted benzyl, naphthyl, substituted naphthyl, heterocycle, substituted heterocycle;

to the solution to form a reaction mixture;

cooling the reaction mixture;

filtering the reaction mixture to produce III.

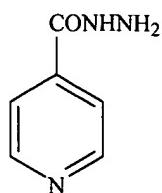
20. A method for producing an antimycobacterial compound comprising the formula of:



wherein R₁ = C₁ to C₆ alkyl, C₂ to C₆ substituted alkyl, C₂ to C₁₀ alkenyl, C₂ to C₁₀ substituted alkenyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, phenyl, substituted phenyl, C₇ to C₁₆ phenylalkyl, C₇ to C₁₆ substituted phenylalkyl, benzyl, substituted benzyl, naphthyl, substituted naphthyl, heterocycle, substituted heterocycle;

which comprises:

adding diethyl ether to



(1)

to produce a solution;

boiling the solution;

adding a carboxylic acid anhydride comprising the formula of:



(4)

wherein R= C₁ to C₆ alkyl, C₂ to C₆ substituted alkyl, C₂ to C₁₀ alkenyl, C₂ to C₁₀ substituted alkenyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, phenyl, substituted phenyl, C₇ to C₁₆ phenylalkyl, C₇ to C₁₆ substituted phenylalkyl, benzyl, substituted benzyl, naphthyl, substituted naphthyl, heterocycle, substituted heterocycle;

in ether to the solution to form a reaction mixture;

refluxing the reaction mixture;

cooling the reaction mixture to produce IV.

add
at

B₂H₆